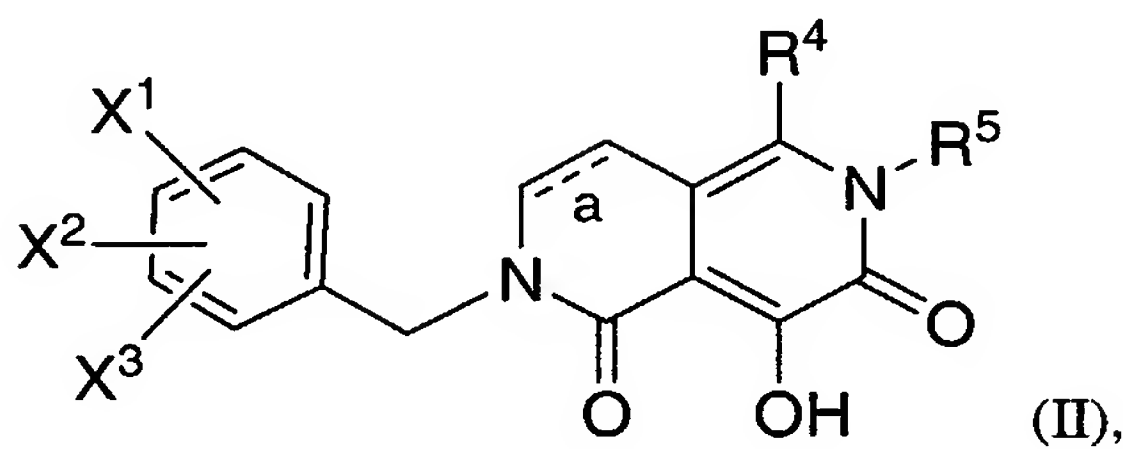


WHAT IS CLAIMED IS:

1. A compound of Formula II, or a pharmaceutically acceptable salt thereof:



5 wherein:

bond " $\overset{a}{\equiv}$ " in the ring is a single bond or a double bond;

X¹ and X² are each independently:

- | | |
|----|--|
| 10 | (1) -H, |
| | (2) -C ₁₋₆ alkyl, |
| | (3) -OH |
| | (4) -O-C ₁₋₆ alkyl, |
| | (5) -C ₁₋₆ haloalkyl, |
| 15 | (6) -O-C ₁₋₆ haloalkyl, |
| | (7) halogen, |
| | (8) -CN, |
| | (9) -N(R ^a)R ^b , |
| | (10) -C(=O)N(R ^a)R ^b , |
| 20 | (11) -SR ^a , |
| | (12) -S(O)R ^a , |
| | (13) SO ₂ R ^a , |
| | (14) -N(R ^a)SO ₂ R ^b , |
| | (15) -N(R ^a)SO ₂ N(R ^a)R ^b , |
| 25 | (16) -N(R ^a)C(=O)R ^b , |
| | (17) -N(R ^a)C(=O)-C(=O)N(R ^a)R ^b , |
| | (18) -HetA, |
| | (19) -C(=O)-HetA, or |
| | (20) HetB; |

wherein each HetA is independently a C₄₋₅ azacycloalkyl or a C₃₋₄ diazacycloalkyl, either of which is optionally substituted with 1 or 2 substituents each of which is independently oxo or C₁₋₆ alkyl; and with the proviso that when HetA is attached to the rest of the compound via the -C(=O)- moiety, the HetA is attached to the

each HetB is independently a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C₁₋₆ alkyl, -C₁₋₆ haloalkyl, -O-C₁₋₆ alkyl, -O-C₁₋₆ haloalkyl, or hydroxy;

or alternatively X¹ and X² are respectively located on adjacent carbons in the phenyl ring and together form methylenedioxy or ethylenedioxy;

15 X³ is:

- (1) -H,
- (2) -C₁₋₆ alkyl,
- (3) -O-C₁₋₆ alkyl,
- (4) -C₁₋₆ haloalkyl,
- 20 (5) -O-C₁₋₆ haloalkyl, or
- (6) halogen;

R⁴ is:

- (1) -C₁₋₆ alkyl,
- 25 (2) -CO₂R^a,
- (3) -C(=O)N(R^a)R^b,
- (4) -C(=O)-N(R^a)-(CH₂)₂₋₃-OR^b,
- (5) -N(R^a)C(=O)R^b,
- (6) -N(R^a)SO₂R^b,
- 30 (7) -C₃₋₆ cycloalkyl, which is optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C₁₋₆ alkyl, -CF₃, -O-C₁₋₆ alkyl, or -OCF₃,
- (8) -HetK,
- (9) -C(=O)-HetK,
- (10) -C(=O)N(R^a)-HetK,

- (11) $-\text{C}(=\text{O})\text{N}(\text{R}^a)-(\text{CH}_2)_{0-2}-(\text{C}_{3-6} \text{ cycloalkyl})$, wherein the cycloalkyl is optionally substituted with from 1 to 4 substituents each of which is independently halogen, $-\text{C}_{1-6}$ alkyl, $-\text{CF}_3$, $-\text{O}-\text{C}_{1-6}$ alkyl, or $-\text{OCF}_3$, or
- (12) $-\text{C}(=\text{O})\text{N}(\text{R}^a)-\text{CH}_2\text{-phenyl}$, wherein the phenyl is optionally substituted with from 1 to 4 substituents each of which is independently $-\text{C}_{1-6}$ alkyl, $-\text{O}-\text{C}_{1-6}$ alkyl, $-\text{CF}_3$, $-\text{OCF}_3$, or halogen;
- (13) $-\text{HetL}$,
- (14) $-\text{C}(=\text{O})\text{N}(\text{R}^a)\text{R}^c$, or
- (15) halogen;

wherein HetK is a 5- or 6-membered saturated heterocyclic ring containing a total of from 1 to 4 heteroatoms independently selected from 1 to 4 N atoms, from 0 to 2 O atoms, and from 0 to 2 S atoms, wherein the heterocyclic ring is optionally substituted with (i) from 1 to 4 substituents each of which is independently $-\text{C}_{1-6}$ alkyl, oxo, halogen, $-\text{C}(=\text{O})\text{N}(\text{R}^a)\text{R}^b$, $-\text{C}(=\text{O})\text{C}(=\text{O})\text{N}(\text{R}^a)\text{R}^b$, $-\text{C}(=\text{O})\text{R}^a$, $-\text{CO}_2\text{R}^a$, $-\text{SO}_2\text{R}^a$, or $-\text{SO}_2\text{N}(\text{R}^a)\text{R}^b$ and (ii) from zero to 1 C_{3-6} cycloalkyl; and with the proviso that when HetK is attached to the rest of the compound via the $-\text{C}(=\text{O})-$ moiety, the HetK is attached to the $-\text{C}(=\text{O})-$ via a ring N atom;

wherein HetL is a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently $-\text{C}_{1-6}$ alkyl or $-\text{OH}$;

R^5 is:

- (1) $-\text{H}$,
- (2) $-\text{C}_{1-6}$ alkyl,
- (3) $-\text{C}_{3-6}$ cycloalkyl,
- (4) $-(\text{CH}_2)_{1-2}-\text{C}_{3-6}$ cycloalkyl,
- (5) $-\text{CH}_2\text{-phenyl}$ wherein the phenyl is optionally substituted with from 1 to 4 substituents each of which is independently halogen, C_{1-6} alkyl, C_{1-6} haloalkyl, $-\text{O}-\text{C}_{1-6}$ alkyl, or $-\text{O}-\text{C}_{1-6}$ haloalkyl,
- (6) $-(\text{CH}_2)_{1-2}\text{-HetD}$, wherein HetD is a 4- to 7-membered saturated heterocyclic ring containing from 1 to 2 heteroatoms independently selected from 1 to 2 N atoms, from zero to 1 O atom and from zero to 1 S atom, wherein the heterocyclic ring is attached to the rest of the molecule via a ring N atom, and the heterocyclic ring is optionally

substituted with from 1 to 4 substituents each of which is independently -C₁₋₆ alkyl, -C₁₋₆ haloalkyl, -O-C₁₋₆ alkyl, -O-C₁₋₆ haloalkyl, oxo, -C(=O)N(R^a)R^b, -C(=O)R^a, -CO₂R^a, -SO₂R^a, or -SO₂N(R^a)R^b,

(7) phenyl which is optionally substituted with from 1 to 4 substituents each of which is independently -C₁₋₆ alkyl, -O-C₁₋₆ alkyl, -C₁₋₆ haloalkyl, -O-C₁₋₆ haloalkyl, -OH, halogen, -CN, -NO₂, -C(=O)R^a, -CO₂R^a, -SO₂R^a, -N(R^a)C(=O)-C₁₋₆ haloalkyl, -N(R^a)C(=O)R^b, -N(R^a)C(=O)N(R^a)R^b, -N(R^a)CO₂R^b, -N(R^a)SO₂R^b, -C(=O)N(R^d)R^e, or -SO₂N(R^d)R^e;

(8) a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently -C₁₋₆ alkyl, -C₁₋₆ haloalkyl, -O-C₁₋₆ alkyl, -O-C₁₋₆ haloalkyl, or -OH,

(9) C₁₋₆ alkyl substituted with -O-C₁₋₆ alkyl, -CN, -N(R^a)R^b, -C(=O)N(R^a)R^b, -C(=O)R^a, -CO₂R^a, -SO₂R^a, or -SO₂N(R^a)R^b, or

(10) -C₁₋₆ haloalkyl;

each R^a is independently H or C₁₋₆ alkyl;

each R^b is independently H or C₁₋₆ alkyl;

R^c is C₁₋₆ haloalkyl or C₁₋₆ alkyl substituted with -CO₂R^a, -SO₂R^a, -SO₂N(R^a)R^b, or N(R^a)R^b; and

each R^d and R^e are independently H or C₁₋₆ alkyl, or together with the N atom to which they are attached form a 4- to 7-membered saturated heterocyclic ring optionally containing a heteroatom in addition to the nitrogen attached to R^d and R^e selected from N, O, and S, wherein the S is optionally oxidized to S(O) or S(O)₂, and wherein the saturated heterocyclic ring is optionally substituted with from 1 to 4 substituents each of which is independently halogen, -CN, -C₁₋₆ alkyl, -OH, oxo, -O-C₁₋₆ alkyl, -C₁₋₆ haloalkyl, -C(=O)R^a, -CO₂R^a, -SO₂R^a, or -SO₂N(R^a)R^b.

2. The compound according to claim 1, or a pharmaceutically acceptable salt thereof, wherein:

bond " $\overset{a}{\text{---}}$ " in the ring is a single bond;

X¹ and X² are each independently:

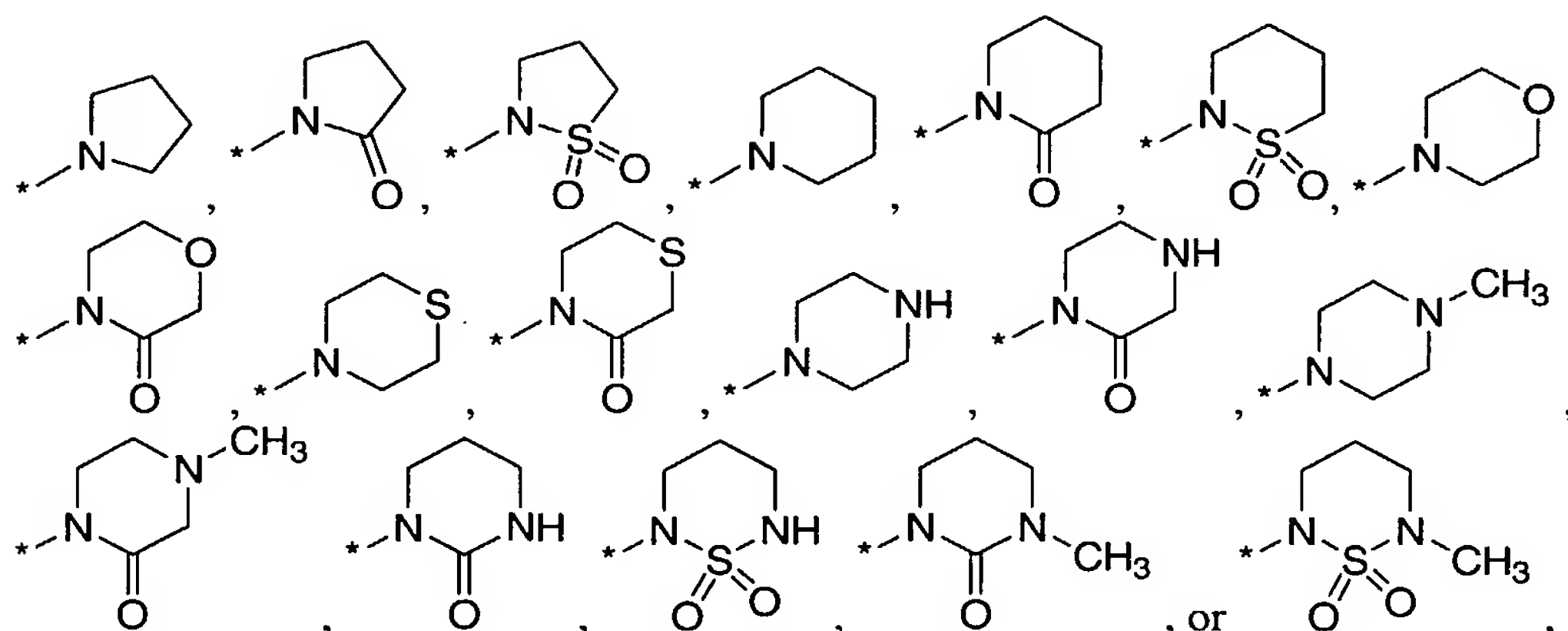
- (1) -H,
- (2) -C₁₋₄ alkyl,
- (3) -C₁₋₄ haloalkyl,
- 5 (4) -OH,
- (5) -O-C₁₋₄ alkyl,
- (6) halogen,
- (7) -CN,
- (8) -C(=O)NH₂,
- 10 (9) -C(=O)NH(-C₁₋₄ alkyl),
- (10) -C(=O)N(-C₁₋₄ alkyl)₂, or
- (11) -SO₂-C₁₋₄ alkyl;

or alternatively X¹ and X² are respectively located on adjacent carbons in the phenyl ring and together
15 form methylenedioxy or ethylenedioxy;

X³ is -H, halogen, -C₁₋₄ alkyl, or -O-C₁₋₄ alkyl;

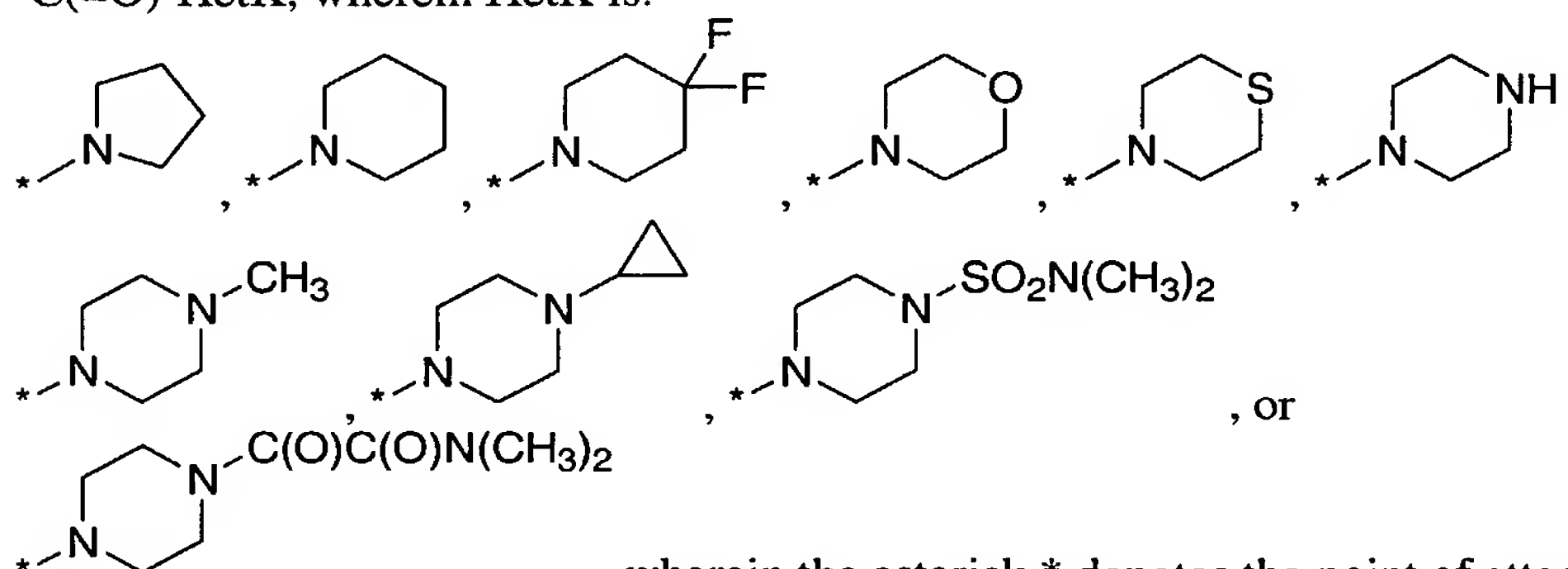
R⁴ is:

- 20 (1) -C₁₋₄ alkyl,
- (2) -CO₂H,
- (3) -C(=O)-O-C₁₋₄ alkyl,
- (4) -C(=O)NH₂,
- (5) -C(=O)NH-C₁₋₅ alkyl,
- 25 (6) -C(=O)N(C₁₋₄ alkyl)₂,
- (7) -C(=O)-NH-(CH₂)₂₋₃-O-C₁₋₄ alkyl,
- (8) -C(=O)-N(C₁₋₄ alkyl)-(CH₂)₂₋₃-O-C₁₋₄ alkyl,
- (9) -NHC(=O)-C₁₋₄ alkyl,
- (10) -N(C₁₋₄ alkyl)C(=O)-C₁₋₄ alkyl,
- 30 (11) -NHSO₂-C₁₋₄ alkyl,
- (12) -N(C₁₋₄ alkyl)SO₂-C₁₋₄ alkyl,
- (13) -C₃₋₆ cycloalkyl,
- (14) -HetK wherein HetK is:



wherein the asterisk * denotes the point of attachment to the rest of the compound,

- 5 (15) $-\text{C}(=\text{O})\text{-HetK}$, wherein HetK is:



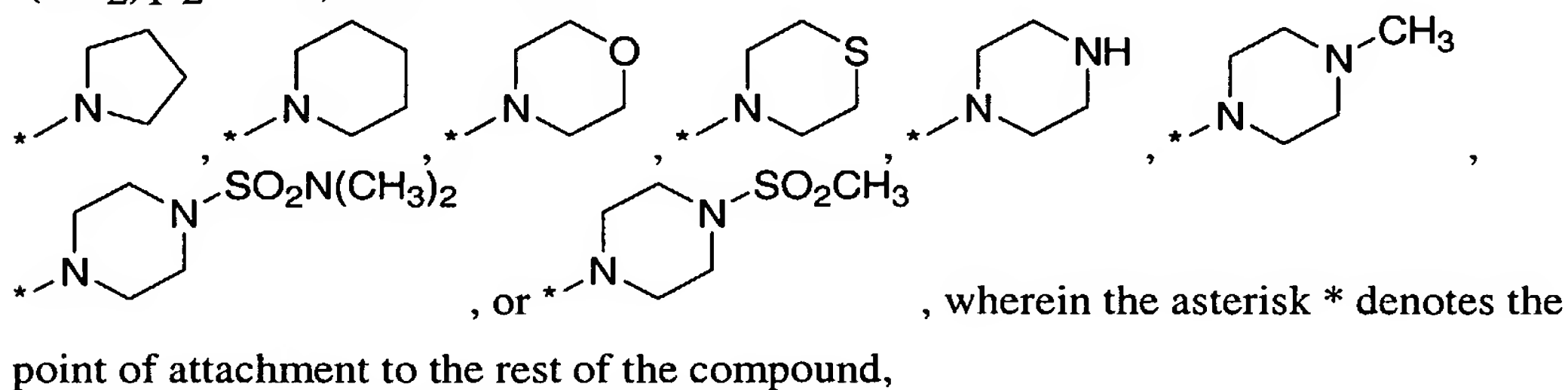
wherein the asterisk * denotes the point of attachment to the rest of the compound,

- 10 (16) $-\text{C}(=\text{O})\text{NH-HetK}$ or $-\text{C}(=\text{O})\text{N}(\text{C}_{1-4} \text{ alkyl})\text{-HetK}$, wherein HetK is a saturated heterocyclic selected from the group consisting of pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, and thiomorpholinyl, wherein the saturated heterocyclic is optionally substituted with from 1 to 2 substituents each of which is independently $-\text{C}_{1-4} \text{ alkyl}$, $\text{SO}_2\text{-C}_{1-4} \text{ alkyl}$, or $-\text{SO}_2\text{N}(\text{C}_{1-4} \text{ alkyl})_2$,
- 15 (17) $-\text{C}(=\text{O})\text{NH}-(\text{CH}_2)_{0-1}\text{-(C}_{3-6} \text{ cycloalkyl})$,
- (18) $-\text{C}(=\text{O})\text{N}(\text{C}_{1-4} \text{ alkyl})\text{-(CH}_2)_{0-1}\text{-(C}_{3-6} \text{ cycloalkyl})$,
- (19) $-\text{C}(=\text{O})\text{NH-CH}_2\text{-phenyl}$, wherein the phenyl is optionally substituted with 1 or 2 substituents each of which is independently halogen, $-\text{C}_{1-4} \text{ alkyl}$, $-\text{CF}_3$, $-\text{O-C}_{1-4} \text{ alkyl}$, or $-\text{OCF}_3$,
- 20 (20) $-\text{C}(=\text{O})\text{N}(\text{C}_{1-4} \text{ alkyl})\text{-CH}_2\text{-phenyl}$, wherein the phenyl is optionally substituted with 1 or 2 substituents each of which is independently halogen, $-\text{C}_{1-4} \text{ alkyl}$, $-\text{CF}_3$, $-\text{O-C}_{1-4} \text{ alkyl}$, or $-\text{OCF}_3$,

- (21) -HetL, wherein HetL is a heteroaromatic ring which is pyrrolyl, thienyl, furanyl, imidazolyl, oxazolyl, thiazolyl, isoxazolyl, isothiazolyl, pyrazolyl, triazolyl, tetrazolyl, oxadiazolyl, pyridinyl, pyrimidinyl, or pyrazinyl, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently halogen or -C₁₋₄ alkyl,
- (22) -C(O)N(H)-C₁₋₄ haloalkyl,
- (23) -C(O)N(C₁₋₄ alkyl)-C₁₋₄ haloalkyl,
- (24) -C(O)N(H)-(CH₂)₁₋₂SO₂-C₁₋₄ alkyl,
- (25) -C(O)N(C₁₋₄ alkyl)-(CH₂)₁₋₂SO₂-C₁₋₄ alkyl,
- (26) -C(O)N(H)-(CH₂)₁₋₂N(C₁₋₄ alkyl)₂,
- (27) -C(O)N(C₁₋₄ alkyl)-(CH₂)₁₋₂N(C₁₋₄ alkyl)₂, or
- (28) -Cl or -Br; and

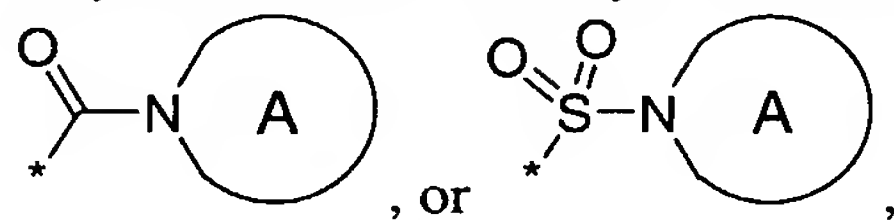
R⁵ is:

- (1) -H,
- (2) -C₁₋₄ alkyl,
- (3) -C₃₋₆ cycloalkyl,
- (4) -CH₂-C₃₋₆ cycloalkyl,
- (5) -CH₂-phenyl, wherein the phenyl is optionally substituted with from 1 to 3 substituents each of which is independently halogen, -C₁₋₄ alkyl, -CF₃, -O-C₁₋₄ alkyl, or -OCF₃,
- (6) -(CH₂)₁₋₂-HetD, wherein HetD is:



- (7) phenyl which is optionally substituted with -C₁₋₄ alkyl, -O-C₁₋₄ alkyl, -CF₃, -OCF₃, halogen, -CN, -NO₂, -C(=O)-C₁₋₄ alkyl, -C(=O)-O-C₁₋₄ alkyl, -C(O)NH₂, -C(O)N(H)-C₁₋₄ alkyl, -C(O)N(C₁₋₄ alkyl)₂, -SO₂-C₁₋₄ alkyl, -SO₂NH₂, -SO₂N(H)-C₁₋₄ alkyl, -SO₂N(C₁₋₄ alkyl)₂, -N(H)C(=O)-C₁₋₄ alkyl, -N(C₁₋₄ alkyl)C(=O)-C₁₋₄ alkyl, -N(H)C(=O)-CF₃, -N(C₁₋₄ alkyl)C(=O)-CF₃, -N(H)C(=O)N(H)C₁₋₄ alkyl, -N(C₁₋₄ alkyl)C(=O)N(H)C₁₋₄ alkyl, -N(H)C(=O)N(C₁₋₄ alkyl)₂, -N(C₁₋₄ alkyl)C(=O)N(C₁₋₄ alkyl)₂, -N(H)C(=O)-O-C₁₋₄ alkyl, -N(C₁₋₄

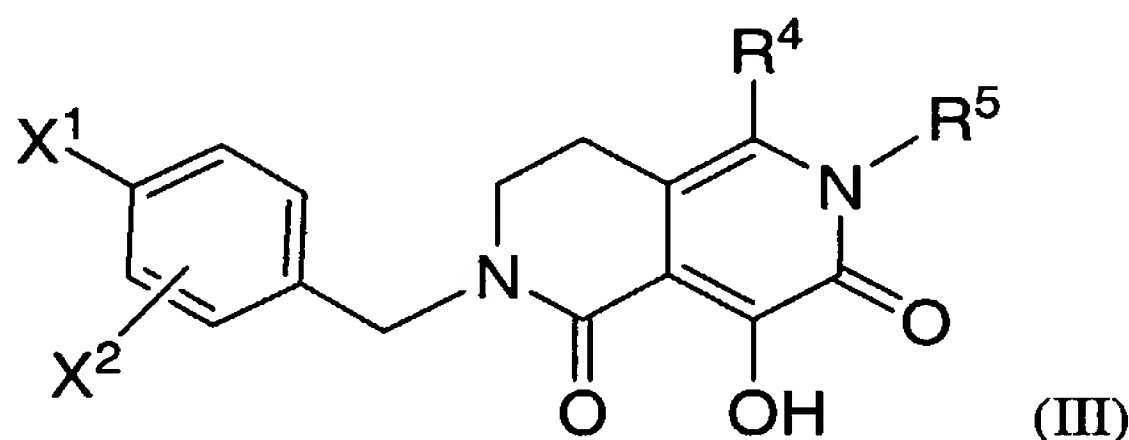
alkyl)C(=O)-O-C₁₋₄ alkyl, -N(H)SO₂-C₁₋₄ alkyl, -N(C₁₋₄ alkyl)SO₂-C₁₋₄ alkyl,



wherein ring A is pyrrolidinyl, piperidinyl, morpholinyl, thiomorpholinyl, or piperazinyl optionally substituted on the other ring nitrogen with methyl or SO₂-CH₃,

- (8) a 5- or 6-membered heteroaromatic ring which is pyrrolyl, thienyl, furanyl, imidazolyl, oxazolyl, thiazolyl, isoxazolyl, isothiazolyl, pyrazolyl, triazolyl, tetrazolyl, pyridinyl, pyrimidinyl, or pyrazinyl, wherein the heteroaromatic ring is optionally substituted with from 1 to 2 substituents each of which is independently halogen or -C₁₋₄ alkyl,
- (9) C₁₋₄ alkyl substituted with -O-C₁₋₄ alkyl, -CN, -NH₂, -N(H)-C₁₋₄ alkyl, -N(C₁₋₄ alkyl)₂, -C(O)NH₂, -C(O)N(H)-C₁₋₄ alkyl, -C(O)N(C₁₋₄ alkyl)₂, -C(=O)-C₁₋₄ alkyl, -C(=O)-O-C₁₋₄ alkyl, -SO₂-C₁₋₄ alkyl, -SO₂NH₂, -SO₂N(H)-C₁₋₄ alkyl, or -SO₂N(C₁₋₄ alkyl)₂, or
- (10) -C₁₋₄ fluoroalkyl.

3. The compound according to claim 1, or a pharmaceutically acceptable salt thereof, which is a compound of Formula III:



wherein:

X¹ is:

- (1) -H,
- (2) bromo,
- (3) chloro,
- (4) fluoro, or
- (5) methoxy;

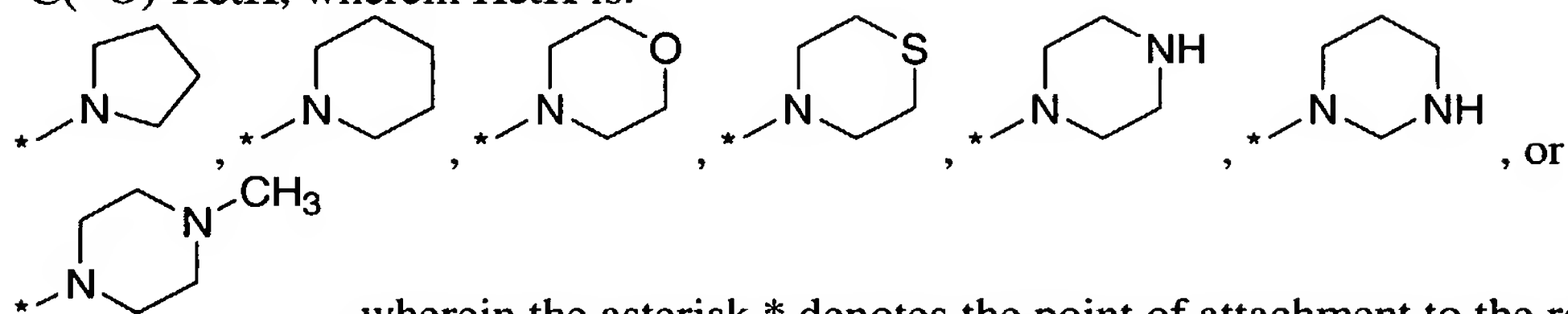
X² is:

- (1) -H,

- (2) bromo,
 (3) chloro,
 (4) fluoro,
 (5) methoxy,
 (6) -C₁₋₄ alkyl,
 (7) -CF₃,
 (8) -OCF₃,
 (9) -CN, or
 (10) -SO₂(C₁₋₄ alkyl);

R⁴ is:

- (1) -CO₂H,
 (2) -C(=O)-O-C₁₋₄ alkyl,
 (3) -C(=O)NH₂,
 (4) -C(=O)NH-C₁₋₄ alkyl,
 (5) -C(=O)N(C₁₋₄ alkyl)₂,
 (6) -C(=O)-NH-(CH₂)₂₋₃-O-C₁₋₄ alkyl,
 (7) -C(=O)-N(C₁₋₄ alkyl)-(CH₂)₂₋₃-O-C₁₋₄ alkyl,
 (8) -NHC(=O)-C₁₋₄ alkyl,
 (9) -N(C₁₋₄ alkyl)C(=O)-C₁₋₄ alkyl,
 (10) -NHSO₂-C₁₋₄ alkyl,
 (11) -N(C₁₋₄ alkyl)SO₂-C₁₋₄ alkyl,
 (12) -C(=O)-HetK, wherein HetK is:



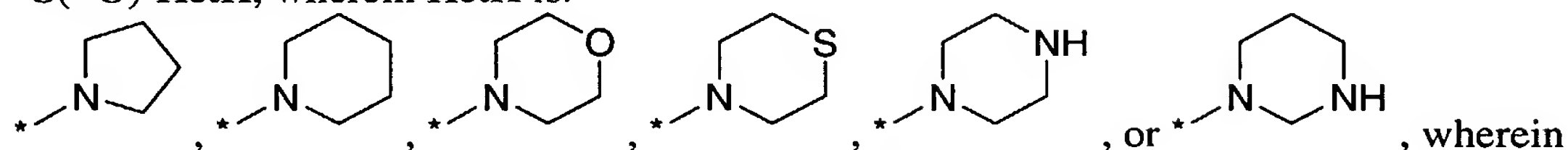
- (13) -C(=O)NH-(CH₂)₀₋₁-(C₃₋₆ cycloalkyl),
 (14) -C(=O)N(C₁₋₄ alkyl)-(CH₂)₀₋₁-(C₃₋₆ cycloalkyl),
 (15) -C(=O)NH-CH₂-phenyl, or
 (16) -C(=O)N(C₁₋₄ alkyl)-CH₂-phenyl; and

R⁵ is:

- (1) -H,
- (2) -C₁₋₄ alkyl,
- (3) cyclopropyl,
- (4) cyclobutyl,
- (5) -CH₂-cyclopropyl,
- (6) -CH₂-cyclobutyl, or
- (7) -CH₂-phenyl.

4. The compound according to claim 3, or a pharmaceutically acceptable salt thereof, wherein R⁴ is:

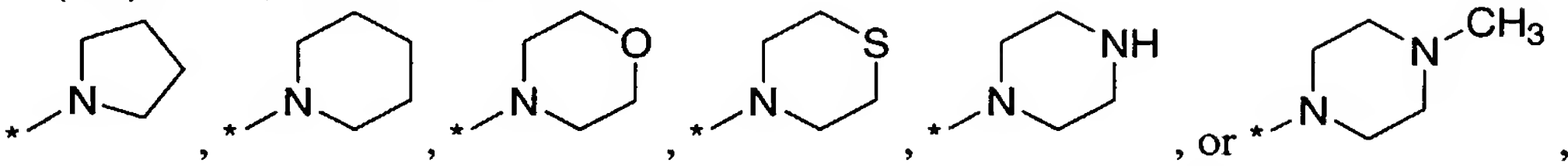
- (1) -CO₂H,
- (2) -C(=O)-O-C₁₋₄ alkyl,
- (3) -C(=O)NH₂,
- (4) -C(=O)NH-C₁₋₄ alkyl,
- (5) -C(=O)N(C₁₋₄ alkyl)₂,
- (6) -C(=O)-NH-(CH₂)₂₋₃-O-C₁₋₄ alkyl,
- (7) -C(=O)-N(C₁₋₄ alkyl)-(CH₂)₂₋₃-O-C₁₋₄ alkyl,
- (8) -NHC(=O)-C₁₋₄ alkyl,
- (9) -N(C₁₋₄ alkyl)C(=O)-C₁₋₄ alkyl,
- (10) -NHSO₂-C₁₋₄ alkyl,
- (11) -N(C₁₋₄ alkyl)SO₂-C₁₋₄ alkyl,
- (12) -C(=O)-HetK, wherein HetK is:



- (13) -C(=O)NH-(CH₂)₀₋₁-(C₃₋₆ cycloalkyl),
- (14) -C(=O)N(C₁₋₄ alkyl)-(CH₂)₀₋₁-(C₃₋₆ cycloalkyl),
- (15) -C(=O)NH-CH₂-phenyl, or
- (16) -C(=O)N(C₁₋₄ alkyl)-CH₂-phenyl.

5. The compound according to claim 3, or a pharmaceutically acceptable salt thereof, wherein R⁴ is:

- (1) -CO₂H,
- (2) -C(=O)-O-C₁₋₄ alkyl,

- (3) $-\text{C}(=\text{O})\text{NH}_2$,
 (4) $-\text{C}(=\text{O})\text{NH}-\text{C}_{1-4} \text{ alkyl}$,
 (5) $-\text{C}(=\text{O})\text{N}(\text{C}_{1-4} \text{ alkyl})_2$,
 (6) $-\text{C}(=\text{O})-\text{NH}-(\text{CH}_2)_{2-3}-\text{O}-\text{C}_{1-4} \text{ alkyl}$,
 5 (7) $-\text{C}(=\text{O})-\text{N}(\text{C}_{1-4} \text{ alkyl})-(\text{CH}_2)_{2-3}-\text{O}-\text{C}_{1-4} \text{ alkyl}$,
 (8) $-\text{NHC}(=\text{O})-\text{C}_{1-4} \text{ alkyl}$,
 (9) $-\text{N}(\text{C}_{1-4} \text{ alkyl})\text{C}(=\text{O})-\text{C}_{1-4} \text{ alkyl}$,
 (10) $-\text{NHSO}_2-\text{C}_{1-4} \text{ alkyl}$,
 (11) $-\text{N}(\text{C}_{1-4} \text{ alkyl})\text{SO}_2-\text{C}_{1-4} \text{ alkyl}$,
 10 (12) $-\text{C}(=\text{O})-\text{HetK}$, wherein HetK is:

 wherein the asterisk * denotes the point of attachment to the rest of the compound,
 (13) $-\text{C}(=\text{O})\text{NH}-(\text{CH}_2)_{0-1}-(\text{C}_{3-6} \text{ cycloalkyl})$,
 (14) $-\text{C}(=\text{O})\text{N}(\text{C}_{1-4} \text{ alkyl})-(\text{CH}_2)_{0-1}-(\text{C}_{3-6} \text{ cycloalkyl})$,
 15 (15) $-\text{C}(=\text{O})\text{NH}-\text{CH}_2-\text{phenyl}$, or
 (16) $-\text{C}(=\text{O})\text{N}(\text{C}_{1-4} \text{ alkyl})-\text{CH}_2-\text{phenyl}$.

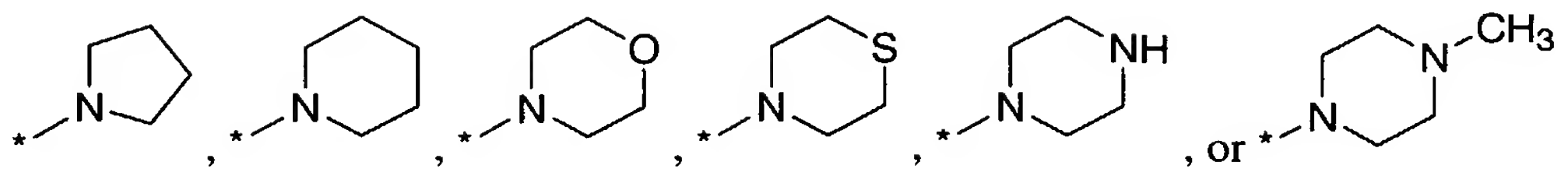
6. The compound according to claim 3, or a pharmaceutically acceptable salt thereof, wherein:

20 X^1 is fluoro;

X^2 is -H or chloro;

25 R^4 is:

- (1) $-\text{C}(=\text{O})-\text{O}-\text{C}_{1-3} \text{ alkyl}$,
 (2) $-\text{C}(=\text{O})\text{NH}-\text{C}_{1-3} \text{ alkyl}$,
 (3) $-\text{C}(=\text{O})\text{N}(\text{C}_{1-3} \text{ alkyl})_2$,
 (4) $-\text{C}(=\text{O})-\text{N}(\text{C}_{1-3} \text{ alkyl})-(\text{CH}_2)_2-\text{O}-\text{C}_{1-3} \text{ alkyl}$,
 30 (5) $-\text{N}(\text{C}_{1-3} \text{ alkyl})\text{C}(=\text{O})-\text{C}_{1-3} \text{ alkyl}$,
 (6) $-\text{N}(\text{C}_{1-3} \text{ alkyl})\text{SO}_2-\text{C}_{1-3} \text{ alkyl}$,
 (7) $-\text{C}(=\text{O})-\text{HetK}$, wherein HetK is:



wherein the asterisk * denotes the point of attachment to the rest of the compound,

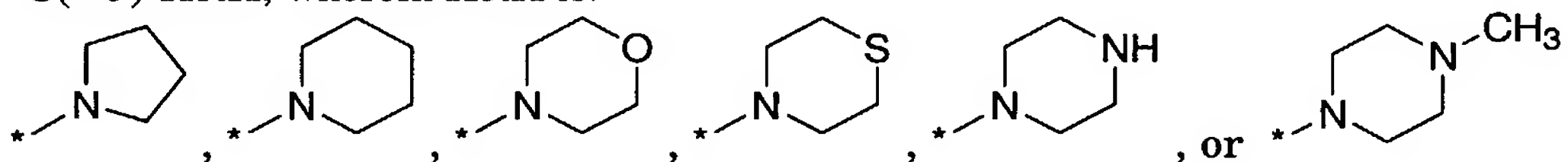
- (8) $-\text{C}(=\text{O})\text{NH}-(\text{CH}_2)_{0-1}-(\text{cyclopropyl})$,
 (9) $-\text{C}(=\text{O})\text{NH}-(\text{CH}_2)_{0-1}-(\text{cyclobutyl})$,
 (10) $-\text{C}(=\text{O})\text{N}(\text{C}_{1-3} \text{ alkyl})-(\text{CH}_2)_{0-1}-\text{cyclopropyl}$,
 (11) $-\text{C}(=\text{O})\text{N}(\text{C}_{1-3} \text{ alkyl})-(\text{CH}_2)_{0-1}-\text{cyclobutyl}$,
 (12) $-\text{C}(=\text{O})\text{NH}-\text{CH}_2-\text{phenyl}$, or
 (13) $-\text{C}(=\text{O})\text{N}(\text{C}_{1-3} \text{ alkyl})-\text{CH}_2-\text{phenyl}$; and

10 R^5 is -H or $-\text{C}_{1-4}$ alkyl.

7. The compound according to claim 6, or a pharmaceutically acceptable salt thereof, wherein:

15 R^4 is:

- (1) $-\text{C}(=\text{O})\text{N}(\text{C}_{1-3} \text{ alkyl})_2$,
 (2) $-\text{C}(=\text{O})-\text{HetK}$, wherein HetK is:



wherein the asterisk * denotes the point of attachment to the rest of the compound,

- (3) $-\text{C}(=\text{O})\text{N}(\text{C}_{1-3} \text{ alkyl})-(\text{CH}_2)_{0-1}-\text{cyclopropyl}$, or
 (4) $-\text{C}(=\text{O})\text{N}(\text{C}_{1-3} \text{ alkyl})-(\text{CH}_2)_{0-1}-\text{cyclobutyl}$; and

R^5 is $-\text{C}_{1-4}$ alkyl.

25 8. A compound, or a pharmaceutically acceptable salt thereof, selected from the group consisting of:

methyl 6-(4-fluorobenzyl)-4-hydroxy-3, 5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxylate;

30 6-(4-fluorobenzyl)-4-hydroxy-*N,N*-dimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

N-cyclobutyl-6-(4-fluorobenzyl)-4-hydroxy-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

5 *N*-cyclopropyl-6-(4-fluorobenzyl)-4-hydroxy-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(4-fluorobenzyl)-4-hydroxy-*N*-isopropyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

10

6-(4-fluorobenzyl)-4-hydroxy-*N*-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(4-fluorobenzyl)-4-hydroxy-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxylic acid;

15

N-[6-(4-fluorobenzyl)-3,4-dihydroxy-5-oxo-5,6,7,8-tetrahydro-2,6-naphthyridin-1-yl]-*N*-methylethanesulfonamide;

N-[6-(4-fluorobenzyl)-4-hydroxy-2-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridin-1-yl]-*N*-methylacetamide;

20

6-(4-fluorobenzyl)-4-hydroxy-*N,N*,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

25 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-*N,N*,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-2-isopropyl-*N,N*-dimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

30

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-2-isobutyl-*N,N*-dimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(4-fluorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6-tetrahydro-2,6-naphthyridine-1-carboxamide;

5 N-cyclobutyl-6-(4-fluorobenzyl)-4-hydroxy-2-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

N-cyclopropyl-6-(4-fluorobenzyl)-4-hydroxy-2-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

10 6-(4-fluorobenzyl)-4-hydroxy-N-isopropyl-2-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(4-fluorobenzyl)-4-hydroxy-2-methyl-3,5-dioxo-N-(2,2,2-trifluoroethyl)-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

15 6-(4-fluorobenzyl)-4-hydroxy-2-methyl-N-[2-(methylsulfonyl)ethyl]-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

20 N,6-bis(4-fluorobenzyl)-4-hydroxy-2-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

2-(4-fluorobenzyl)-8-hydroxy-6-methyl-5-(piperidin-1-ylcarbonyl)-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

25 6-(4-fluorobenzyl)-4-hydroxy-2-methyl-N-neopentyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

2-(4-fluorobenzyl)-8-hydroxy-5-(thiomorpholin-4-ylcarbonyl)-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

30 2-(4-fluorobenzyl)-8-hydroxy-5-(piperazin-1-ylcarbonyl)-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

4-{[6-(4-fluorobenzyl)-4-hydroxy-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridin-1-yl]carbonyl}-N,N-dimethylpiperazine-1-sulfonamide;

2-(4-{[6-(4-fluorobenzyl)-4-hydroxy-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridin-1-yl]carbonyl}piperazin-1-yl)-N,N-dimethyl-2-oxoacetamide;

5 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-2-isobutyl-N-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-N,N-diethyl-4-hydroxy-2-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

10

2-(3-chloro-4-fluorobenzyl)-8-hydroxy-6-methyl-5-[(4-methylpiperazin-4-yl)carbonyl]-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

15

2-(3-chloro-4-fluorobenzyl)-8-hydroxy-6-methyl-5-(thiomorpholin-4-ylcarbonyl)-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

2-(3-chloro-4-fluorobenzyl)-8-hydroxy-6-methyl-5-(piperidin-1-ylcarbonyl)-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

20

6-(3-chloro-4-fluorobenzyl)-N-(cyclopropylmethyl)-4-hydroxy-2-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-N-cyclopropyl-4-hydroxy-2-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

25

6-(3-chloro-4-fluorobenzyl)-N-ethyl-4-hydroxy-N,2-dimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

30

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N-isopropyl-N,2-dimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

2-(3-chloro-4-fluorobenzyl)-5-[(4,4-difluoropiperidin-1-yl)carbonyl]-8-hydroxy-6-methyl-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

2-(3-chloro-4-fluorobenzyl)-8-hydroxy-6-methyl-5-(morpholin-4-ylcarbonyl)-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

5 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,2-dimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

2-(3-chloro-4-fluorobenzyl)-8-hydroxy-6-methyl-5-[(4-cyclopropylpiperazin-4-yl)carbonyl]-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

10 N,N-diethyl-6-(4-fluorobenzyl)-4-hydroxy-2-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

N-[2-(dimethylamino)ethyl]-6-(4-fluorobenzyl)-4-hydroxy-N,2-dimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

15 6-(4-fluorobenzyl)-4-hydroxy-N,2-dimethyl-N-(1-methylpiperidin-4-yl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

20 N,6-bis(4-fluorobenzyl)-4-hydroxy-N,2-dimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

N,N-diethyl-6-(4-fluorobenzyl)-3,4-dihydroxy-5-oxo-5,6,7,8-tetrahydro-2,6-naphthyridine-1-carboxamide;

25 6-(4-fluorobenzyl)-3,4-dihydroxy-N-isobutyl-N-methyl-5-oxo-5,6,7,8-tetrahydro-2,6-naphthyridine-1-carboxamide;

N-ethyl-6-(4-fluorobenzyl)-3,4-dihydroxy-N-methyl-5-oxo-5,6,7,8-tetrahydro-2,6-naphthyridine-1-carboxamide;

30 6-(4-fluorobenzyl)-3,4-dihydroxy-N-methyl-5-oxo-N-propyl-5,6,7,8-tetrahydro-2,6-naphthyridine-1-carboxamide;

6-(4-fluorobenzyl)-3,4-dihydroxy-N-isopropyl-N-methyl-5-oxo-5,6,7,8-tetrahydro-2,6-naphthyridine-1-carboxamide;

2-(4-fluorobenzyl)-7,8-dihydroxy-5-(pyrrolidin-1-ylcarbonyl)-3,4-dihydro-2,6-naphthyridin-1(2H)-one;

5

2-(4-fluorobenzyl)-7,8-dihydroxy-5-(morpholin-4-ylcarbonyl)-3,4-dihydro-2,6-naphthyridin-1(2H)-one;

4-hydroxy-N,N,2-trimethyl-3,5-dioxo-6-[3-(trifluoromethyl)benzyl]-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

10

4-hydroxy-N,N,2-trimethyl-3,5-dioxo-6-[4-fluoro-3-(trifluoromethyl)benzyl]-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(1,3-benzodioxol-4-ylmethyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

15

6-(1,3-benzodioxol-5-ylmethyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

4-hydroxy-6-(2-methoxybenzyl)-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

20

4-hydroxy-6-(3-methoxybenzyl)-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

25

4-hydroxy-6-(3-methylbenzyl)-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3,4-dimethylbenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

30

6-(2,3-dichlorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(2,4-difluorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

5 4-hydroxy-N,N,2-trimethyl-3,5-dioxo-6-[3-(trifluoromethoxy)benzyl]-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-fluorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

10 6-(4-fluoro-3-methylbenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(2-bromo-3-chloro-4-fluorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

15 4-hydroxy-6-(2-methylbenzyl)-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

20 6-(2-fluorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

4-hydroxy-6-(4-methylbenzyl)-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

25 6-(4-chlorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

4-hydroxy-6-(4-methoxybenzyl)-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

30 6-(3,5-dichlorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3,4-dichlorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

5 6-(3,5-difluorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3,5-dimethoxybenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

10 6-(3-chloro-4-methylbenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-fluoro-4-methylbenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

15 6-(2,5-difluorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

20 6-(3-chloro-2-fluorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(4-chloro-2-fluorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

25 6-(5-chloro-2-fluorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(2-fluoro-3-methylbenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

30 6-(5-fluoro-2-methylbenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3,5-dimethylbenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

5 4-hydroxy-6-(4-hydroxybenzyl)-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(2,3-dihydro-1,4-benzodioxin-6-ylmethyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

10 6-(4-fluoro-3-methoxybenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

15 6-(3-chloro-4-methoxybenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(4-chloro-3-methylbenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

20 6-(3,4-difluorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(2-chloro-4-fluorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

25 6-(3-chlorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

8-hydroxy-2-(4-methoxybenzyl)-6-methyl-5-(pyrrolidin-1-ylcarbonyl)-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

30 4-hydroxy-6-(4-methoxybenzyl)-N,2-dimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

methyl 6-(1,3-benzodioxol-5-ylmethyl)-4-hydroxy-2-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxylate;

5 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(4-methylphenyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-phenyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

10 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(3-thienyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-pyridin-3-yl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

15

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-{4-[methoxycarbonyl]-phenyl}-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

20 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-[4-(amino)carbonyl-phenyl]-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-{4-[(methylamino)carbonyl]-phenyl}-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

25 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-{4-[(ethylamino)carbonyl]-phenyl}-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-{4-[(isopropylamino)carbonyl]-phenyl}-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

30

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-{4-[(dimethylamino)carbonyl]-phenyl}-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-{4-[(diethylamino)carbonyl]-phenyl}-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

5 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-{3-[(dimethylamino)carbonyl]-phenyl}-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(4-nitrophenyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

10 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-[4-(acetilamino)phenyl]-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-[4-(acetilmethylamino)phenyl]-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

15 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-[4-methyl(trifluoroacetyl)-aminophenyl]-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

20 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-{4-[(methylaminocarbonyl)-methylamino]phenyl}-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-{4-[(dimethylaminocarbonyl)-methylamino]phenyl}-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

25 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-{4-[(methoxycarbonyl)-amino]phenyl}-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-{4-[(methoxycarbonyl)methyl-amino]phenyl}-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

30 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-{4-[(methylsulfonyl)-amino]phenyl}-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-Chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-{4-[methyl(methyl-sulfonyl)amino]phenyl}-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

5 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-[4-(aminosulfonyl)phenyl]-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-[4-(methyaminosulfonyl)-phenyl]-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

10 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-[4-(morpholin-4-ylsulfonyl)-phenyl]-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-[4-(methylsulfonyl)-phenyl]-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

15

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(3-cyanophenyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

20 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(4-cyanophenyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(4-acetylphenyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

25 6-(3-Chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(cyanomethyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(1-cyanoethyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

30

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(2-amino-2-oxoethyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(2-amino-1-methyl-2-oxoethyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

5 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(cyclopropylmethyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(cyclobutylmethyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

10 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(cyclohexylmethyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(2-methoxyethyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

15

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(2,2,2-trifluoroethyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

20 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-benzyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(4-fluorobenzyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

25 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(3-chloro-4-fluorobenzyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(2-pyrrolidin-1-ylethyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

30

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(2-morpholin-4-ylethyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(2-aminoethyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

5 6-(4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-isopropyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-isobutyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

10 6-(5-chloro-4-fluoro-2-iodobenzyl)-4-hydroxy-N,N-dimethyl-2-isopropyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(5-chloro-4-Fluoro-2-iodobenzyl)-4-hydroxy-N,N-dimethyl-2-isobutyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

15 N-[6-(3-chloro-4-fluorobenzyl)-4-hydroxy-2-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridin-1-yl]-N-methylmethanesulfonamide;

20 N-[6-(3-chloro-4-fluorobenzyl)-4-hydroxy-2-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridin-1-yl]-N-methylethanesulfonamide;

N-[6-(3-chloro-4-fluorobenzyl)-4-hydroxy-2-isobutyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridin-1-yl]-N-methylmethanesulfonamide;

25 N-[6-(3-chloro-4-fluorobenzyl)-4-hydroxy-2-isobutyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridin-1-yl]-N-ethylmethanesulfonamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-2-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridin-1-ynitrile;

30 2-(3-chloro-4-fluorobenzyl)-8-hydroxy-6-methyl-5-(5-methyl-1,3,4-oxadiazol-2-yl)-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

5-bromo-2-(3-chloro-4-fluorobenzyl)-8-hydroxy-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

5-bromo-2-(3-chloro-4-fluorobenzyl)-8-hydroxy-6-methyl-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

5 2-(3-chloro-4-fluorobenzyl)-8-hydroxy-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

2-(3-chloro-4-fluorobenzyl)-8-hydroxy-6-methyl-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

2-(3-chloro-4-fluorobenzyl)-5-ethyl-8-hydroxy-6-methyl-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione

10

2-(3-chloro-4-fluorobenzyl)-5-cyclopropyl-8-hydroxy-6-methyl-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

2-(3-chloro-4-fluorobenzyl)-8-hydroxy-6-methyl-5-pyridin-3-yl-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

15

2-(3-chloro-4-fluorobenzyl)-8-hydroxy-6-methyl-5-pyridin-4-yl-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione; and

20 2-(3-chloro-4-fluorobenzyl)-8-hydroxy-6-methyl-5-(2-furyl)-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione.

9. A pharmaceutical composition comprising an effective amount of a compound according to any one of claims 1 to 8, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

25

10. A method of inhibiting HIV integrase in a subject in need thereof which comprises administering to the subject an effective amount of the compound according to any one of claims 1 to 8, or a pharmaceutically acceptable salt thereof.

30

11. A method for preventing or treating infection by HIV or for preventing, treating or delaying the onset of AIDS in a subject in need thereof which comprises administering to the subject an effective amount of the compound according to any one of claims 1 to 8, or a pharmaceutically acceptable salt thereof.

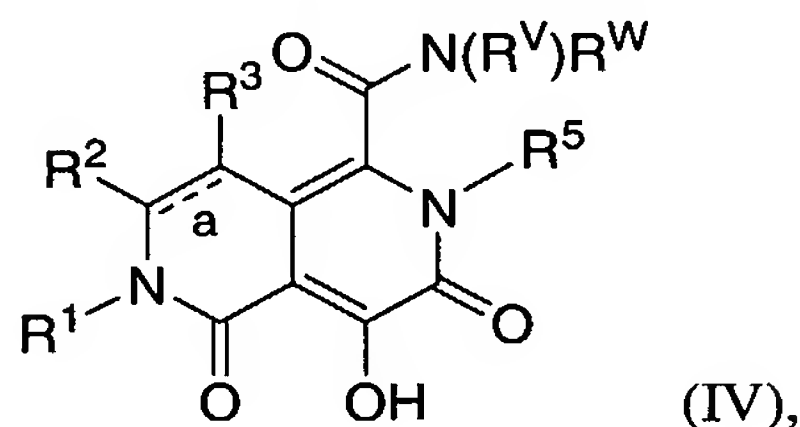
12. Use of a compound according to any one of claims 1 to 8, or a pharmaceutically acceptable salt thereof, for inhibiting HIV integrase in a subject in need thereof.

5 13. Use of a compound according to any one of claims 1 to 8, or a pharmaceutically acceptable salt thereof, for preventing or treating infection by HIV or for preventing, treating or delaying the onset of AIDS in a subject in need thereof.

14. A compound according to any one of claims 1 to 8, or a pharmaceutically acceptable salt thereof, for use in the preparation of a medicament for inhibiting HIV integrase in a subject in need thereof.

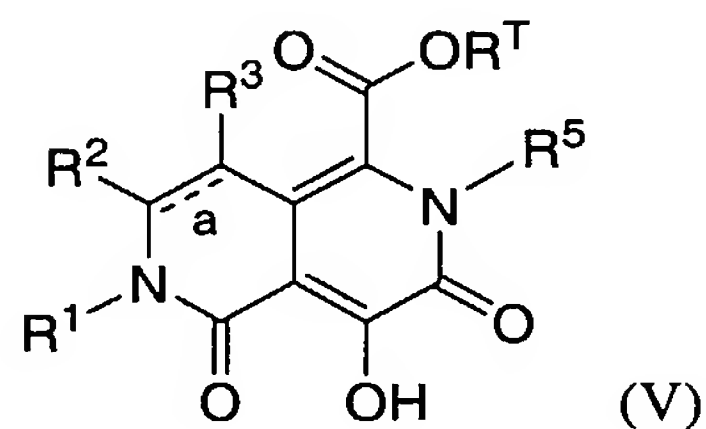
15. A compound according to any one of claims 1 to 8, or a pharmaceutically acceptable salt thereof, for use in the preparation of a medicament for preventing or treating infection by HIV or for preventing, treating or delaying the onset of AIDS in a subject in need thereof.

16. A process for preparing a compound of Formula IV:



which comprises:

20 (B) contacting a compound of Formula V:



with a Grignard salt of an amine of Formula VI:



to obtain Compound IV; wherein:

bond " $\overset{a}{\text{---}}$ " in the ring is a single bond or a double bond;

5 R^1 is $-C_{1-6}$ alkyl substituted with R^J , wherein R^J is:

(A) aryl or aryl fused to a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the aryl or fused aryl is:

(a) optionally substituted with from 1 to 5 substituents each of which is independently:

- 10 (1) $-C_{1-6}$ alkyl,
 (2) $-C_{1-6}$ alkyl substituted with $-O-C_{1-6}$ alkyl, $-O-C_{1-6}$ haloalkyl, $-NO_2$, $-N(R^a)R^b$, or $-S(O)_nR^a$,
 (3) $-C_{1-6}$ haloalkyl,
 (4) $-O-C_{1-6}$ alkyl,
 15 (5) halogen,
 (6) $C(=O)N(R^a)R^b$, or
 (7) $-SO_2R^a$, and

(b) optionally substituted with 1 or 2 substituents each of which is independently:

- 20 (1) phenyl,
 (2) benzyl, or
 (3) $-HetB$;

wherein each $HetB$ is a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently halogen, $-C_{1-6}$ alkyl, $-C_{1-6}$ haloalkyl, $-O-C_{1-6}$ alkyl, or $-O-C_{1-6}$ haloalkyl; or

(B) a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S; wherein the heteroaromatic ring is

- 30 (i) optionally substituted with from 1 to 4 substituents each of which is independently halogen, $-C_{1-6}$ alkyl, $-C_{1-6}$ haloalkyl, $-O-C_{1-6}$ alkyl, or $-O-C_{1-6}$ haloalkyl, and
 (ii) optionally substituted with 1 or 2 substituents each of which is independently aryl or $-C_{1-6}$ alkyl substituted with aryl;

R² and R³ are each independently -H or -C₁₋₆ alkyl;

R⁵ is:

- (1) -C₁₋₆ alkyl,
- 5 (2) -C₃₋₈ cycloalkyl optionally substituted with from 1 to 4 substituents each of which is independently -C₁₋₆ alkyl or -O-C₁₋₆ alkyl,
- (3) -C₁₋₆ alkyl substituted with C₃₋₈ cycloalkyl, wherein the cycloalkyl is optionally substituted with from 1 to 4 substituents each of which is independently -C₁₋₆ alkyl or -O-C₁₋₆ alkyl,
- 10 (4) -C₁₋₆ alkyl substituted with aryl, wherein the aryl is optionally substituted with from 1 to 5 substituents each of which is independently -C₁₋₆ alkyl, -C₁₋₆ alkylene-O-C₁₋₆ alkyl, or halogen, or
- (5) -C₁₋₆ alkyl substituted with a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring
15 is optionally substituted with from 1 to 4 substituents each of which is independently -C₁₋₆ alkyl;

R^T is -C₁₋₆ alkyl;

- 20 R^V and R^W are each independently -C₁₋₆ alkyl or R^V and R^W together with the N atom to which they are both attached form a 4- to 6-membered saturated heterocyclic ring optionally containing a heteroatom in addition to the nitrogen attached to R^V and R^W selected from N, O, and S, where the S is optionally oxidized to S(O) or S(O)₂, and wherein the saturated heterocyclic ring is optionally substituted with 1 or 2 substituents each of which is independently a C₁₋₆ alkyl group;

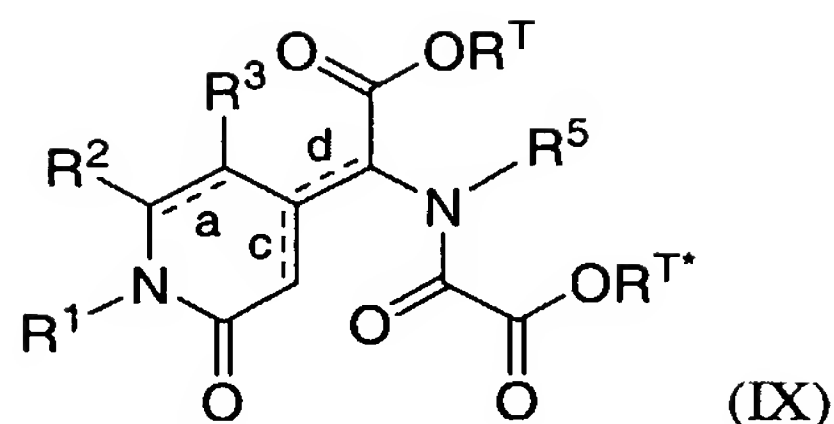
25

each aryl is independently phenyl, naphthyl, or indenyl;

each R^a is independently H or C₁₋₆ alkyl; and

- 30 each R^b is independently H or C₁₋₆ alkyl.

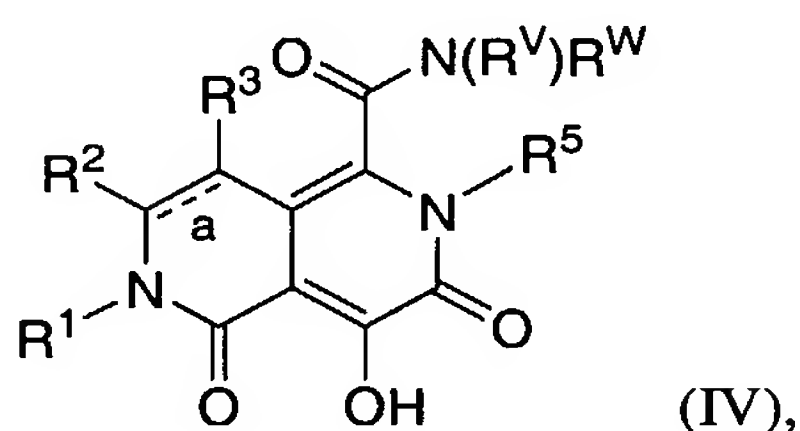
17. The process according to claim 16, wherein the process further comprises:
 - (A) treating a compound of Formula IX:



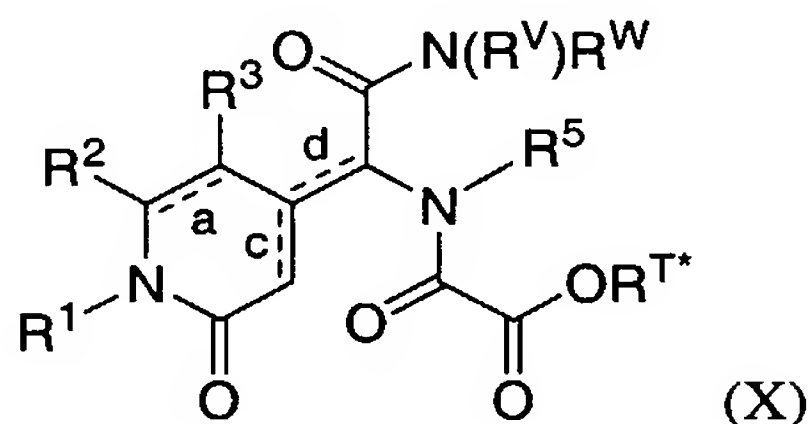
with (i) a tertiary amine base in the presence of a lithium salt or (ii) an alkoxide base, to obtain a compound of Formula V; wherein one of bonds "c" and "d" is a single bond and the other is a double bond; and R^{T*} is C_{1-6} alkyl.

5

18. A process for preparing a compound of Formula IV:



which comprises treating a compound of Formula X:



10 with (i) a tertiary amine base in the presence of a lithium salt or (ii) an alkoxide base, to obtain a compound of Formula IV, wherein:

bond "a" in the ring is a single bond or a double bond;

15 R^1 is $-C_{1-6}$ alkyl substituted with R^J , wherein R^J is:

(A) aryl or aryl fused to a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the aryl or fused aryl is:

(a) optionally substituted with from 1 to 5 substituents each of which is independently:

20 (1) $-C_{1-6}$ alkyl,

- 5
- (2) -C₁₋₆ alkyl substituted with -O-C₁₋₆ alkyl, -O-C₁₋₆ haloalkyl, -NO₂,
-N(R^a)R^b, or -S(O)_nR^a,
 - (3) -C₁₋₆ haloalkyl,
 - (4) -O-C₁₋₆ alkyl,
 - (5) halogen,
 - (6) C(=O)N(R^a)R^b, or
 - (7) -SO₂R^a, and
- 10
- (b) optionally substituted with 1 or 2 substituents each of which is independently:
 - (1) phenyl,
 - (2) benzyl, or
 - (3) -HetB;
- 15
- wherein each HetB is a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C₁₋₆ alkyl, -C₁₋₆ haloalkyl, -O-C₁₋₆ alkyl, or -O-C₁₋₆ haloalkyl; or
- (B) a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S; wherein the heteroaromatic ring is
- 20
- (i) optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C₁₋₆ alkyl, -C₁₋₆ haloalkyl, -O-C₁₋₆ alkyl, or -O-C₁₋₆ haloalkyl, and
 - (ii) optionally substituted with 1 or 2 substituents each of which is independently aryl or -C₁₋₆ alkyl substituted with aryl;
- 25
- R² and R³ are each independently -H or -C₁₋₆ alkyl;

R⁵ is:

- 30
- (1) -C₁₋₆ alkyl,
 - (2) -C₃₋₈ cycloalkyl optionally substituted with from 1 to 4 substituents each of which is independently -C₁₋₆ alkyl or -O-C₁₋₆ alkyl,
 - (3) -C₁₋₆ alkyl substituted with C₃₋₈ cycloalkyl, wherein the cycloalkyl is optionally substituted with from 1 to 4 substituents each of which is independently -C₁₋₆ alkyl or -O-C₁₋₆ alkyl,

- (4) -C₁₋₆ alkyl substituted with aryl, wherein the aryl is optionally substituted with from 1 to 5 substituents each of which is independently -C₁₋₆ alkyl, -C₁₋₆ alkylene-O-C₁₋₆ alkyl, or halogen, or
- (5) -C₁₋₆ alkyl substituted with a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently -C₁₋₆ alkyl;

R^V and R^W are each independently -C₁₋₆ alkyl or R^V and R^W together with the N atom to which they are both attached form a 4- to 6-membered saturated heterocyclic ring optionally containing a heteroatom in addition to the nitrogen attached to R^V and R^W selected from N, O, and S, where the S is optionally oxidized to S(O) or S(O)₂, and wherein the saturated heterocyclic ring is optionally substituted with 1 or 2 substituents each of which is independently a C₁₋₆ alkyl group;

each aryl is independently phenyl, naphthyl, or indenyl;

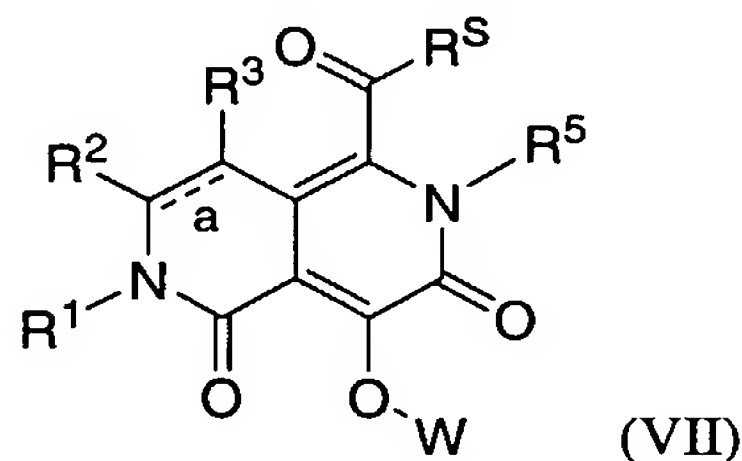
each R^a is independently H or C₁₋₆ alkyl;

each R^b is independently H or C₁₋₆ alkyl;

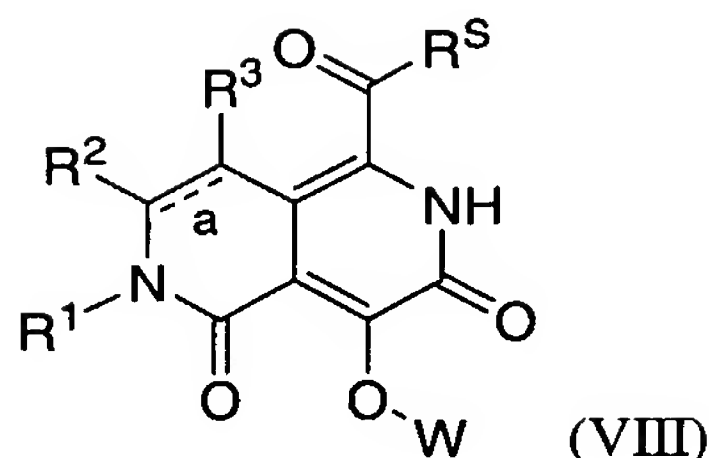
one of bonds "^c" and "^d" is a single bond and the other is a double bond; and

R^{T*} is C₁₋₆ alkyl.

19. A process for preparing a compound of Formula VII:



which comprises reacting an alkylating agent of formula R⁵-Z with a compound of Formula VIII:



in a polar aprotic solvent and in the presence of a base selected from a magnesium base and a calcium base; wherein:

5 bond " $\overset{a}{\text{---}}$ " in the ring is a single bond or a double bond;

W is -H or -C₁₋₆ alkyl;

10 Z is halogen or -SO₃-Q wherein Q is (i) C₁₋₆ alkyl or (ii) phenyl optionally substituted with 1 or 2 substituents each of which is independently a C₁₋₆ alkyl;

15 R^S is -O-C₁₋₆ alkyl or N(R^V)R^W wherein R^V and R^W are each independently -C₁₋₆ alkyl or R^V and R^W together with the N atom to which they are both attached form a 4- to 6-membered saturated heterocyclic ring optionally containing a heteroatom in addition to the nitrogen attached to R^V and R^W selected from N, O, and S, where the S is optionally oxidized to S(O) or S(O)₂, and wherein the saturated heterocyclic ring is optionally substituted with 1 or 2 substituents each of which is independently a C₁₋₆ alkyl group;

R¹ is -C₁₋₆ alkyl substituted with R^J, wherein R^J is:

- 20 (A) aryl or aryl fused to a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the aryl or fused aryl is:
- (a) optionally substituted with from 1 to 5 substituents each of which is independently:
- 25 (1) -C₁₋₆ alkyl optionally substituted with -OH, -O-C₁₋₆ alkyl, -O-C₁₋₆ haloalkyl, -CN, -NO₂, -N(R^a)R^b, -C(=O)N(R^a)R^b, -C(=O)R^a, -CO₂R^a, -S(O)_nR^a, -SO₂N(R^a)R^b, -N(R^a)C(=O)R^b, -N(R^a)CO₂R^b, -N(R^a)SO₂R^b, -N(R^a)SO₂N(R^a)R^b, -OC(=O)N(R^a)R^b, or -N(R^a)C(=O)N(R^a)R^b,
- (2) -O-C₁₋₆ alkyl,

- 5
- (3) -C₁₋₆ haloalkyl,
 (4) -O-C₁₋₆ haloalkyl,
 (5) -OH,
 (6) halogen,
 (7) -CN,
 (8) -NO₂,
 (9) -N(R^a)R^b,
 (10) -C(=O)N(R^a)R^b,
 (11) -C(=O)R^a,
 10 (12) -CO₂R^a,
 (13) -SR^a,
 (14) -S(=O)R^a,
 (15) -SO₂R^a,
 (16) -SO₂N(R^a)R^b,
 15 (17) -N(R^a)SO₂R^b,
 (18) -N(R^a)SO₂N(R^a)R^b,
 (19) -N(R^a)C(=O)R^b,
 (20) -N(R^a)C(=O)-C(=O)N(R^a)R^b, or
 (21) -N(R^a)CO₂R^b, and
- 20 (b) optionally substituted with 1 or 2 substituents each of which is independently:
- (1) phenyl,
 (2) benzyl,
 (3) -HetA,
 (4) -C(=O)-HetA, or
 25 (5) -HetB;
- wherein each HetA is independently a C₄₋₇ azacycloalkyl or a C₃₋₆ diazacycloalkyl, either of which is optionally substituted with from 1 to 4 substituents each of which is independently oxo or C₁₋₆ alkyl; and
- wherein each HetB is a 5- or 6-membered heteroaromatic ring
 30 containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C₁₋₆ alkyl, -C₁₋₆ haloalkyl, -O-C₁₋₆ alkyl, -O-C₁₋₆ haloalkyl, or hydroxy; or

- (B) a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S; wherein the heteroaromatic ring is
- (i) optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C₁₋₆ alkyl, -C₁₋₆ haloalkyl, -O-C₁₋₆ alkyl, -O-C₁₋₆ haloalkyl, or hydroxy, and
 - (ii) optionally substituted with 1 or 2 substituents each of which is independently aryl or -C₁₋₆ alkyl substituted with aryl;

R² and R³ are each independently -H or -C₁₋₆ alkyl;

R⁵ is:

- (1) -C₁₋₆ alkyl,
- (2) -C₃₋₈ cycloalkyl optionally substituted with from 1 to 4 substituents each of which is independently -C₁₋₆ alkyl or -O-C₁₋₆ alkyl,
- (3) -C₁₋₆ alkyl substituted with C₃₋₈ cycloalkyl, wherein the cycloalkyl is optionally substituted with from 1 to 4 substituents each of which is independently -C₁₋₆ alkyl or -O-C₁₋₆ alkyl,
- (4) -C₁₋₆ alkyl substituted with aryl, wherein the aryl is optionally substituted with from 1 to 5 substituents each of which is independently -C₁₋₆ alkyl, -C₁₋₆ alkylene-O-C₁₋₆ alkyl, or halogen, or
- (5) -C₁₋₆ alkyl substituted with a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently -C₁₋₆ alkyl;

each aryl is independently phenyl, naphthyl, or indenyl;

each R^a is independently H or C₁₋₆ alkyl;

each R^b is independently H or C₁₋₆ alkyl; and

each n is independently an integer equal to zero, 1, or 2.